

We claim:

1. An amorphous form of levocetirizine dihydrochloride.
2. An amorphous form of levocetirizine dihydrochloride, which is substantially free of crystalline forms of cetirizine dihydrochloride.
3. An amorphous form of levocetirizine dihydrochloride characterized by an X-ray powder diffraction pattern substantially in accordance with Figure (1).
4. A pharmaceutical composition comprising a prophylactically or therapeutically effective amount of an amorphous form of levocetirizine dihydrochloride and one or more pharmaceutically acceptable excipients.
5. The pharmaceutical composition of claim 4, which is substantially free of crystalline forms of cetirizine dihydrochloride.
6. A composition comprising levocetirizine dihydrochloride as a solid, wherein at least 80% by weight of said levocetirizine dihydrochloride is in an amorphous form.
7. The composition of claim 5, wherein at least 90% of said solid levocetirizine dihydrochloride is in an amorphous form.
8. The composition of claim 6, wherein at least 95% of said solid levocetirizine dihydrochloride is in an amorphous form.
9. The composition of claim 7, wherein at least 99% of said solid levocetirizine dihydrochloride is in an amorphous form.

10. The composition of claim 8, which is substantially free of crystalline forms of cetirizine dihydrochloride.

11. The composition of claim 6, wherein at least 1% of said solid levocetirizine dihydrochloride is in a crystalline form.

12. The composition of claim 11, wherein at least 5% of said solid levocetirizine dihydrochloride is in a crystalline form.

13. The composition of claim 6, which is a pharmaceutical composition.

14. The composition of claim 13, further comprising one or more pharmaceutically acceptable excipients.

15. The composition of claim 14, wherein said pharmaceutical composition is a solid dosage form for oral administration.

16. The composition of claim 15, wherein said solid dosage form is a tablet.

17. The composition of claim 6 having a moisture content ranging from about 0.3% to about 12% by KF method.

18. The composition of claim 6 having a moisture content ranging from about 1.5% to about 7.5% by KF method.

19. A process for the preparation of an amorphous form of (-)-[2-[4-[(4-Chlorophenyl)-phenyl methyl]-1-piperazinyl] ethoxy] acetic acid dihydrochloride (levocetirizine dihydrochloride), which comprises

a) providing levocetirizine free base or salt thereof in a solvent carrier;

b) treating said levocetirizine in said carrier with hydrochloric acid to form a dihydrochloride salt of cetirizine in solution;

c) removing said solvent carrier to obtain a residue;

d) adding a liquid hydrocarbon compound to said residue thereby said amorphous form of levocetirizine dihydrochloride separates as a solid mass.

20. The process of claim 19, further comprising isolating said solid mass.

21. The process of claim 20, further comprising removing any unbound solvent from said isolated solid mass to obtain a substantially dry form of said amorphous form of levocetirizine dihydrochloride.

22. The process of claim 21, wherein said step of removing said unbound solvent comprises drying said solid mass at a temperature of from about 60 to about 110 degrees Celsius.

23. The process of claim 22, further comprising removing any unbound solvent from said isolated solid mass to obtain a substantially dry form of said amorphous form of levocetirizine dihydrochloride.

24. The process of claim 19, wherein said liquid hydrocarbon compound is selected from a group consisting of toluene, xylene, cyclohexane, or heptane.

25. The process of claim 19, wherein said solvent carrier is selected from a group consisting of a ketone solvent, an aqueous mixture of water miscible solvents, a nitrile solvent, or a hydrocarbon solvent.

26. The process of claim 25, wherein said ketone solvent is selected from a group consisting of acetone, methyl ethyl ketone or 2-pentanone or mixture thereof.

27. The process of claim 25, wherein said aqueous mixture of water miscible solvents is a C₁-C₅ straight or branched chain alcoholic solvent.

28. The process of claim 27, wherein the branched chain alcoholic solvent is selected from the group consisting of methanol, ethanol, n-propanol, isopropanol, 2-butanol, n-butanol, n-pentanol or 2-pentanol.

29. The process of claim 25, wherein said nitrile solvent is acetonitrile or propionitrile.

30. The amorphous form of levocetirizine dihydrochloride produced in accordance with a process of claim 19.

31. The amorphous form of levocetirizine dihydrochloride produced in accordance with a process of claim 22.

32. The amorphous form of levocetirizine dihydrochloride produced in accordance with a process of claim 25.

33. A pharmaceutical composition comprising i) a prophylactically or therapeutically effective amount of levocetirizine dihydrochloride in a solid form produced by the process of claim 19, and ii) one or more pharmaceutically acceptable excipients.

34. The composition of claim 33, wherein said pharmaceutical composition is a solid dosage form for oral administration.

35. The composition of claim 34, wherein said solid dosage form is a tablet.

36. The composition of claim 33, having a moisture content ranging from about 0.3% to about 12% by KF method.

37. The composition of claim 33, having a moisture content ranging from about 1.5% to about 7.5% by KF method.